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     FILE 'REGISTRY' ENTERED AT 08:26:15 ON 07 JAN 2004
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L2           1 S L1
L3         504 S L1 FUL
L4             STRUCTURE UPLOADED
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L9           2 S L3

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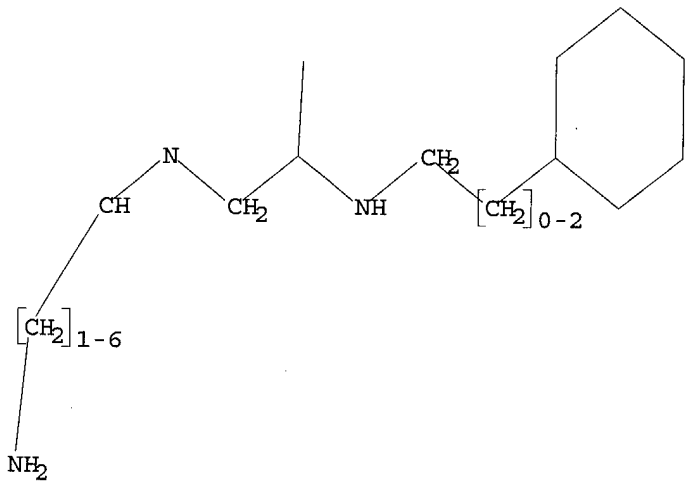

Structure attributes must be viewed using STN Express query preparation.

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L9   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:122935  CAPLUS
DN   136:184117
TI   Preparation of triamine derivative melanocortin receptor ligands
IN   Watson-Straughan, Karen J.; Gahman, Timothy C.; Qi, Ming; Hamashin,
     Christa; MacDonald, James E.; Green, Michael J.; Holme, Kevin R.;
     Griffith, Michael C.
PA   Lion Bioscience A.-G., Germany
SO   PCT Int. Appl., 169 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002012166	A2	20020214	WO 2001-EP8417	20010720
	WO 2002012166	A3	20020418		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001072555	A5	20020218	AU 2001-72555	20010720
PRAI	US 2000-632928	A	20000804		
	WO 2001-EP8417	W	20010720		

OS MARPAT 136:184117

AB Triamine deriv. melanocortin (MC) receptor ligands R2R3NCH2CHR1NHCH2(CH2)nR [R = (un)substituted Ph or cyclohexyl; n = 0-2; R1 = H, (un)substituted alkyl, phenylalkyl, naphthylalkyl; when R2 is absent, R3 together with the attached nitrogen form a substituted heterocycle or cyclic alkylene; when R2 is H or (un)substituted alkyl, R3 is X(Y)CH, where X is H, (un)substituted alkyl, phenylalkyl, Ph or naphthyl and Y is Z(CH2)n (n = 1-6, Z = amino or protected amino)] or their pharmaceutically acceptable salts were prepd. Data for libraries of triamine derivs. and starting materials are tabulated. E.g., Boc-Asp(OFm)-OH (Boc = tert-butoxycarbonyl, Fm = 9-fluorenylmethyl), Boc-Tyr(Et)-OH, 4-BrC6H4CH2CO2H, and cyclopropylamine (c-C3H5NH2) were applied to the synthesis of H2NCH2CH(CH2CH2NHC3H5-c)NHCH2CH(CH2C6H4OEt-4)NHCH2CH2C6H4Br-4. The triamine derivs. of the invention exhibit a range of affinities and specificity for various MC receptors.

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:716666 CAPLUS

DN 130:81852

TI Solid-Phase Synthesis of Trisubstituted Bicyclic Guanidines via Cyclization of Reduced N-Acylated Dipeptides

AU Ostresh, John M.; Schoner, Christa C.; Hamashin, Vince T.; Nefzi, Adel; Meyer, Jean-Philippe; Houghten, Richard A.

CS Torrey Pines Institute for Molecular Studies, San Diego, CA, 92121, USA

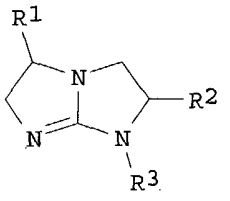
SO Journal of Organic Chemistry (1998), 63(24), 8622-8623
CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

GI



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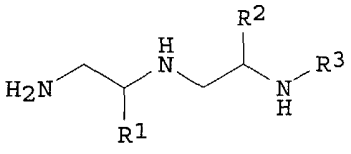


II

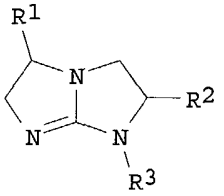
AB A novel method for the solid-phase synthesis of trisubstituted bicyclic guanidines I (R1 = CH2Ph, Me, CH2CHMe2, Pr; R2 = CH2Ph, Me, Pr; R3 = CH2CH2Ph, Bu, Et) is presented. The initial reaction step involves the exhaustive redn. of resin-bound N-acylated dipeptides

R3CONHCHR2CONHCHR1CONHR (R = polymer support) using borane-THF, followed by cyclization of the resulting triamine with thiocarbonyldiimidazole to generate resin-bound trisubstituted bicyclic guanidines. Cleavage from the resin using HF yields the desired trisubstituted bicyclic guanidines in excellent yield and purity. The approaches described enable efficient high-yield and purity syntheses of either polyamines II or bicyclic guanidines. These methods were applied to the synthesis of both individual compds. and combinatorial libraries.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr l9 2

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:716666 CAPLUS
DN 130:81852
TI Solid-Phase Synthesis of Trisubstituted Bicyclic Guanidines via Cyclization of Reduced N-Acylated Dipeptides
AU Ostresh, John M.; Schoner, Christa C.; Hamashin, Vince T.; Nefzi, Adel; Meyer, Jean-Philippe; Houghten, Richard A.
CS Torrey Pines Institute for Molecular Studies, San Diego, CA, 92121, USA
SO Journal of Organic Chemistry (1998), 63(24), 8622-8623
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
GI



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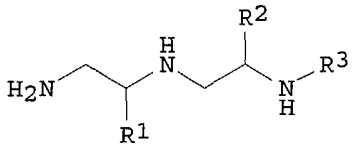


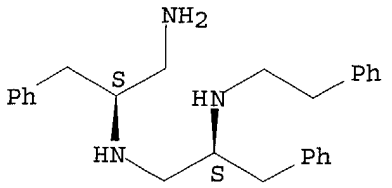
II

AB A novel method for the solid-phase synthesis of trisubstituted bicyclic guanidines I (R1 = CH2Ph, Me, CH2CHMe2, Pr; R2 = CH2Ph, Me, Pr; R3 = CH2CH2Ph, Bu, Et) is presented. The initial reaction step involves the exhaustive redn. of resin-bound N-acylated dipeptides R3CONHCHR2CONHCHR1CONHR (R = polymer support) using borane-THF, followed by cyclization of the resulting triamine with thiocarbonyldiimidazole to generate resin-bound trisubstituted bicyclic guanidines. Cleavage from the resin using HF yields the desired trisubstituted bicyclic guanidines in excellent yield and purity. The approaches described enable efficient high-yield and purity syntheses of either polyamines II or bicyclic guanidines. These methods were applied to the synthesis of both individual compds. and combinatorial libraries.

IT **218931-05-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of trisubstituted bicyclic guanidine and linear triamine combinatorial libraries via cyclization and redn. of acylated dipeptide libraries)

RN 218931-05-6 CAPLUS
CN 1,2-Propanediamine, N1-[(1S)-1-(aminomethyl)-2-phenylethyl]-3-phenyl-N2-(2-phenylethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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